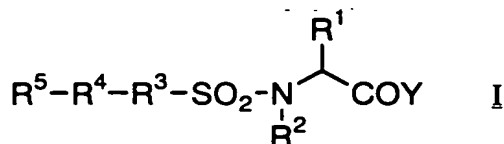


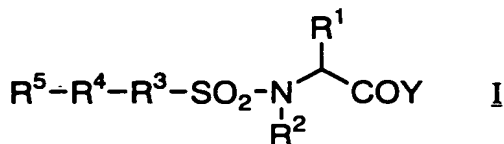
CLAIMS

1. A composition for inhibiting metalloproteinase which contains a compound of the formula I:



wherein R¹ is optionally substituted lower alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl; R² is hydrogen atom, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl; R³ is a bond, optionally substituted arylene, or optionally substituted heteroarylene; R⁴ is a bond, -(CH₂)_m-, -CH=CH-, -C ≡ C-, -CO-, -CO-NH-, -N=N-, -N(R^A)-, -NH-CO-NH-, -NH-CO-, -O-, -S-, -SO₂NH-, -SO₂-NH-N=CH-, or tetrazol-diyl; R⁵ is optionally substituted lower alkyl, optionally substituted C₃-C₈ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group; R^A is hydrogen atom or lower alkyl; Y is -NHOH or -OH; and m is 1 or 2; provided R² is hydrogen atom when Y is -NHOH, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

2. A composition for inhibiting metalloproteinase which contains a compound of the formula I:

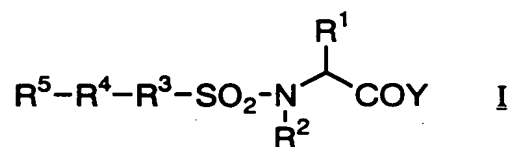


wherein R¹ is optionally substituted lower alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl; R² is hydrogen atom, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl; R³ is a bond, optionally substituted arylene, or

optionally substituted heteroarylene; R⁴ is a bond, -(CH₂)_m-, -CH=CH-, -C ≡ C-, -CO-,
-CO-NH-, -N=N-, -N(R^A)-, -NH-CO-NH-, -NH-CO-, -O-, -S-, -SO₂NH-, -SO₂-NH-N=CH-,
or tetrazol-diyl; R⁵ is optionally substituted lower alkyl, optionally substituted C₃-C₈
cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, or an
5 optionally substituted non-aromatic heterocyclic group; R^A is hydrogen atom or lower
alkyl; Y is -NHOH or -OH; and m is 1 or 2; provided R² is hydrogen atom when Y is -
NHOH, R⁵ is optionally substituted aryl or optionally substituted heteroaryl when R³
is optionally substituted arylene or optionally substituted heteroarylene and R⁴ is -
CO-NH- or -NH-CO-, R⁵ is optionally substituted aryl or optionally substituted
10 heteroaryl when R³ is optionally substituted arylene or optionally substituted
heteroarylene and R⁴ is tetrazol-diyl, R⁵ is lower alkyl, aryl substituted by lower alkyl
or optionally substituted aryl, or heteroaryl substituted by lower alkyl or optionally
substituted aryl when R³ is optionally substituted arylene and R⁴ is a bond, both of R³
and R⁴ are not a bond at the same time, and R⁴ is not -O- when R³ is optionally
15 substituted arylene or optionally substituted heteroarylene, its optically active
substance, their pharmaceutically acceptable salt, or hydrate thereof.

3. A composition for inhibiting metalloproteinase of claim 1 or 2, which is a
composition for inhibiting type-IV collagenase.

4. A compound of the formula I:

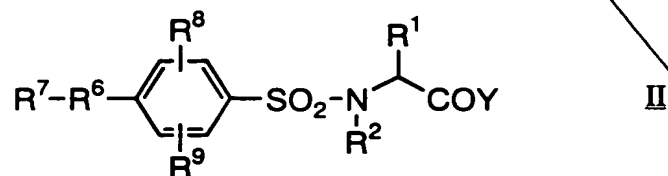


20 wherein R¹ is optionally substituted lower alkyl, optionally substituted aryl, optionally
substituted aralkyl, optionally substituted heteroaryl, or optionally substituted
heteroarylalkyl; R² is hydrogen atom, optionally substituted lower alkyl, optionally
substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or
25 optionally substituted heteroarylalkyl; R³ is a bond, optionally substituted arylene, or
optionally substituted heteroarylene; R⁴ is a bond, -(CH₂)_m-, -CH=CH-, -C ≡ C-, -CO-,
-CO-NH-, -N=N-, -N(R^A)-, -NH-CO-NH-, -NH-CO-, -O-, -S-, -SO₂NH-, -SO₂-NH-N=CH-,

or tetrazol-diyl; R⁵ is optionally substituted lower alkyl, optionally substituted C₃-C₈ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group; R^A is hydrogen atom or lower alkyl; Y is -NHOH or -OH; and m is 1 or 2; provided R² is hydrogen atom when Y is -

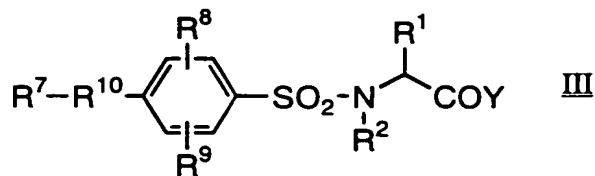
5 NHOH, R⁵ is optionally substituted aryl or optionally substituted heteroaryl when R³ is optionally substituted arylene or optionally substituted heteroarylene and R⁴ is -CO-NH- or -NH-CO- (when R³ is phenylene and R⁴ is -CO-NH-, R¹ is not methyl or phenyl and R⁵ is not 2-chlorophenyl, 4-chlorophenyl, or 2,4-dichlorophenyl), R⁵ is lower alkyl, optionally substituted aryl, or optionally substituted heteroaryl when R³ is
10 optionally substituted arylene or optionally substituted heteroarylene and R⁴ is tetrazol-diyl, R⁵ is lower alkyl, aryl substituted with lower alkyl or optionally substituted aryl, or heteroaryl substituted with lower alkyl or optionally substituted aryl when R³ is optionally substituted arylene and R⁴ is a bond, both of R³ and R⁴ are not a bond at the same time, and R⁴ is not -O- when R³ is optionally substituted arylene
15 or optionally substituted heteroarylene, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

5. A compound of the formula II:



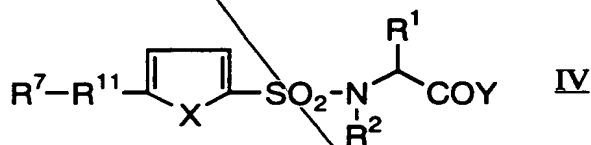
wherein R⁶ is -CH=CH-, -C≡C-, -N=N-, -NH-CO-NH-, -S-, -SO₂NH-, or -SO₂-NH-
20 N=CH-; R⁷ is optionally substituted aryl or optionally substituted heteroaryl; R⁸ and R⁹ are each independently hydrogen atom, lower alkoxy, or nitro; R¹, R², and Y are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

6. A compound of the formula III:



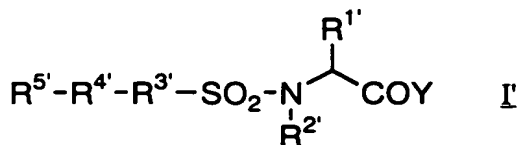
wherein R^{10} is $\text{-(CH}_2\text{)}_m\text{-}$, -CO- , -CO-NH- , $\text{-N(R}^A\text{)-}$, -NHCO- , or tetrazol-diyl; m is 1 or 2; R^1 , R^2 , R^7 , R^8 , R^9 , R^A , and Y are as defined above, provided R^1 is not methyl or phenyl and R^7 is not 2-chlorophenyl, 4-chlorophenyl, or 2,4-dichlorophenyl when R^{10} is -NH-
 5 CO- , its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

7. A compound of the formula IV:



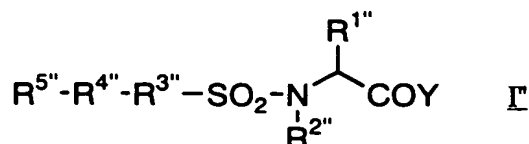
wherein R^{11} is a bond, -CH=CH- , or $\text{-C}\equiv\text{C-}$; X is oxygen atom or sulfur atom; R^1 , R^2 , R^7 ,
 10 and Y are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

8. A compound of the formula I':



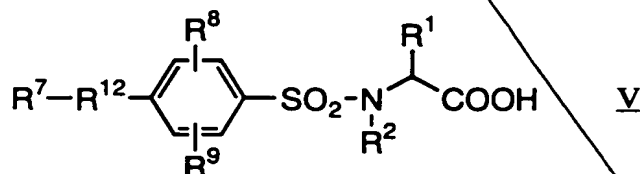
wherein $\text{R}^{1'}$ is benzyl, (indol-3-yl)methyl, (1-methylindol-3-yl)methyl, (5-methylindol-
 15 3-yl)methyl, (5-fluoroindole-3-yl)methyl, (1-acetylindol-3-yl)methyl, (1-methylsulfonylindol-3-yl)methyl, (1-alkoxycarbonyl-3-yl)methyl such as ethoxycarbonylmethyl, or i-propyl; $\text{R}^{2'}$ is hydrogen atom, methyl, 4-aminobutyl, or benzyl; $\text{R}^{3'}$ is 1,4-phenylene; $\text{R}^{4'}$ is -O- ; $\text{R}^{5'}$ is phenyl or 4-hydroxyphenyl; and Y is as defined above, its optically active substance, their pharmaceutically acceptable salt, or
 20 hydrate thereof.

9. A compound of the formula I'':



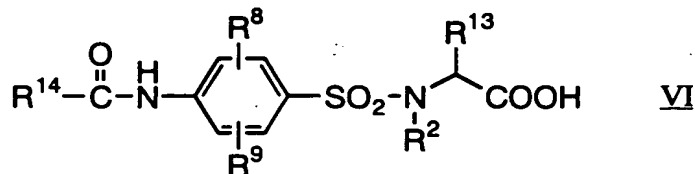
wherein R^{1''} is 4-thiazolylmethyl, (indol-3-yl)methyl, (5-methoxyindol-3-yl)methyl, 1-naphthylmethyl, 2-naphthylmethyl, 4-biphenylmethyl, 2,2,2-trifluoroethyl, 2-phenylethyl, benzyl, i-propyl, 4-nitrobenzyl, 4-fluorobenzyl, cyclohexylmethyl, (1-methylindol-3-yl)methyl, (5-methylindol-3-yl)methyl, (5-fluoroindol-3-yl)methyl, (pyridin-4-yl)methyl, (benzothiazol-2-yl)methyl, (phenyl)(hydroxy)methyl, phenyl, carboxymethyl, 2-carboxyethyl, hydroxymethyl, phenylmethoxymethyl, 4-carboxybenzyl, (benzimidazol-2-yl)methyl, (1-methylsulfonylindol-3-yl)methyl, or (1-ethoxycarbonylindol-3-yl)methyl; R^{2''} is hydrogen atom; R^{3''} is 1,4-phenylene; R^{4''} is a bond; R^{5''} is phenyl, 3-methoxyphenyl, 4-methoxyphenyl, 4-methylphenyl, 4-tert-butylphenyl, 4-trifluoromethylphenyl, 4-fluorophenyl, 4-methylthiophenyl, 4-biphenyl, 2-thienyl, benzoxazol-2-yl, benzothiazol-2-yl, or tetrazol-2-yl; and Y is as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

10 1 0. A compound of the formula V:



wherein R¹² is -CH=CH- or -C ≡ C-; R¹, R², R⁷, R⁸, and R⁹ are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

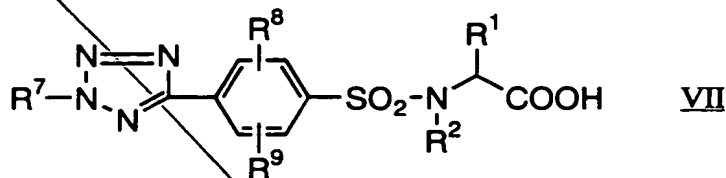
1 1. A compound of the formula VI:



wherein R², R⁸, and R⁹ are as defined above, R¹³ is optionally substituted lower alkyl,

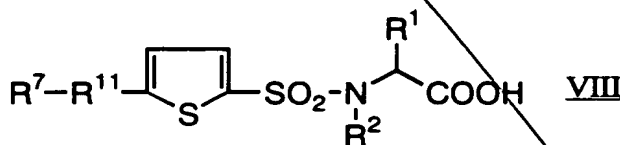
optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl; and R¹⁴ is optionally substituted aryl or optionally substituted heteroaryl; provided R¹³ is not methyl or phenyl and R¹⁴ is not 2-chlorophenyl, 4-chlorophenyl, or 2,4-dichlorophenyl, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 2. A compound of the formula VII:



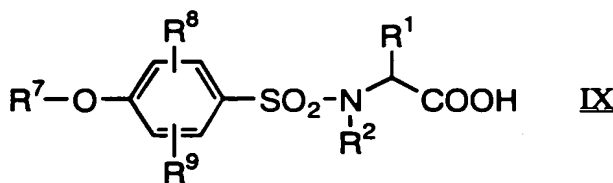
wherein R¹, R², R⁷, R⁸, and R⁹ are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 3. A compound of the formula VIII:



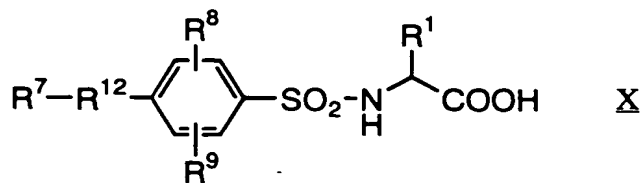
wherein R¹, R², R⁷, and R¹¹ are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

14. A compound of the formula IX:



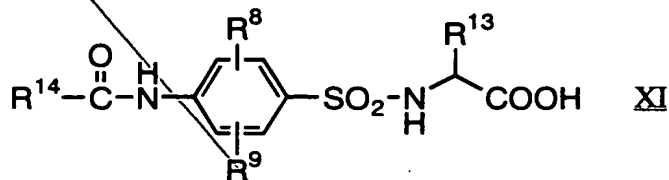
wherein R¹, R², R⁷, R⁸, and R⁹ are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

15. A compound of the formula X:



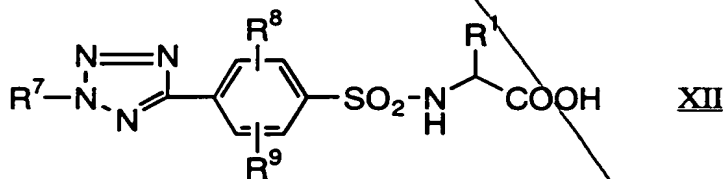
wherein R^{12} is $-\text{CH}=\text{CH}-$ or $-\text{C} \equiv \text{C}-$; R^1 , R^7 , R^8 , and R^9 are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 6. A compound of the formula XI:



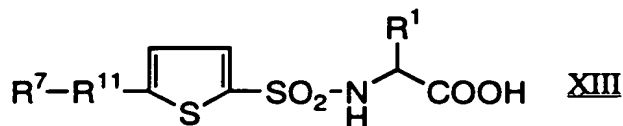
wherein R^1 , R^8 , R^9 , R^{13} , and R^{14} are as defined above, provided R^{13} is not methyl or phenyl and R^{14} is not 2-chlorophenyl, 4-chlorophenyl, or 2,4-dichlorophenyl, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 7. A compound of the formula XII:



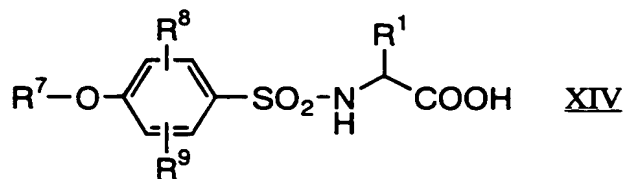
wherein R^1 , R^7 , R^8 , and R^9 are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 8. A compound of the formula XIII:



15 wherein R^1 , R^7 , and R^{11} are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

1 9. A compound of the formula XIV:



wherein R¹, R⁷, R⁸, and R⁹ are as defined above, its optically active substance, their pharmaceutically acceptable salt, or hydrate thereof.

20. The compound of ^{claim 4} ~~any one of claims 4 to 19~~, wherein R¹, R^{1'}, R^{1''}, and R¹³ are

5 i-propyl, benzyl, or (indole-3-yl)methyl.

21. The compound of ^{claim 4} ~~any one of claims 4 to 7 and 10 to 19~~, wherein R⁵, R⁷, and

R¹⁴ are phenyl optionally substituted with one or more substituents selected from the group consisting of alkoxy, alkylthio, and alkyl.

22. The compound of ^{claim 4} ~~any one of claims 4 to 19~~, wherein a configuration of asymmetric carbon atoms bonding with R¹, R^{1'}, R^{1''}, and R¹³ is R configuration.

23. A pharmaceutical composition containing a compound of ^{claim 4} ~~any one of claims~~

~~4 to 19~~.

24. A composition for inhibiting metalloproteinase containing a compound of

^{claim 4} ~~any one of claims 4 to 19~~.

25. A composition for inhibiting type IV collagenase containing a compound of

^{claim 4} ~~any one of claims 4 to 19~~.